```
L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
```

AN 2004:964998 CAPLUS Full-text

DN 141:410970

TI Preparation of substituted 1,4-diazepines as inhibitors of HDM2-p53 interactions

IN Lu, Tianbao; Milkiewicz, Karen L.; Raboisson, Pierre; Cummings, Maxwell David; Calvo, Raul R.; Parks, Daniel J.; Lafrance, Louis V., III; Marugan Sanchez, Juan Jose; Gushue, Joan; Leonard, Kristi

PA 3-Dimensional Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 166 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.					KIN	D	DATE		APPLICATION NO.						DATE			
						-													
ΡI	WO	WO 2004096134			A2 20041111			WO 2004-US12240						20040421					
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	AZ,	
			BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
			ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	
			TD,	TG															

PRAI US 2003-465265P P 20030425

OS MARPAT 141:410970

GΙ

$$\begin{bmatrix} R \end{bmatrix}_{11}$$
 $\begin{bmatrix} R \end{bmatrix}_{11}$
 $\begin{bmatrix} R$

AB The title compds. [I; R = halo, alkyl, CN, cycloalkyl, etc.; n = 0-2; X X = a bivalent radical such as alkanediyl, etc.; R3 = CO2RO, CO2M (wherein R0 = H, alkyl, cycloalkyl; M = cation); R5 = cycloalkyl, aryl, heteroaryl, etc.; R6 = cycloalkyl, aryl, heteroaryl, etc.; R7 = H, alkyl, cycloalkyl, (cycloalkyl)alkyl; R8 = H, alkyl], useful as inhibitors of HDM2-p53 interactions for treating cancer, inflammatory condition or autoimmune disease, were prepared and formulated. E.g., a multi-step synthesis of II, which showed IC50 of 0.1-1.0 μM against binding of p53 to MDM2, was given.

TT 787632-90-0P 787632-91-1P 787632-92-2P 787632-93-3P 787632-94-4P 787632-95-5P

787632-96-6P 787632-97-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted 1,4-diazepines as inhibitors of HDM2-p53 interactions)

RN 787632-90-0 CAPLUS

CN 5H-1,4-Benzodiazepin-5-one, 2-amino-3-(4-chlorophenyl)-4-[(1R)-1-(4-

chlorophenyl)ethyl]-3,4-dihydro-7-iodo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-91-1 CAPLUS

CN Acetamide, 2-[[3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-4,5-dihydro-7-iodo-5-oxo-3H-1,4-benzodiazepin-2-yl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-92-2 CAPLUS

CN 5H-1,4-Benzodiazepin-5-one, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-2-[(2-hydroxyethyl)amino]-7-iodo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-93-3 CAPLUS

CN 5H-1,4-Benzodiazepin-5-one, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-2-[(3-hydroxypropyl)amino]-7-iodo-, (3S)-(9CI) (CA INDEX NAME)

RN 787632-94-4 CAPLUS

CN Acetamide, N-[2-[[(3S)-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-4,5-dihydro-7-iodo-5-oxo-3H-1,4-benzodiazepin-2-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-95-5 CAPLUS

CN 5H-1,4-Benzodiazepin-5-one, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-7-iodo-2-(methylamino)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-96-6 CAPLUS

CN 5H-1,4-Benzodiazepin-5-one, 2-[(2-aminoethyl)amino]-3-(4-chlorophenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-7-iodo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-97-7 CAPLUS

CN Propanamide, 3-[[3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-4,5-dihydro-7-iodo-5-oxo-3H-1,4-benzodiazepin-2-yl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:934324 CAPLUS Full-text

DN 141:395589

TI Preparation of benzodiazepinediones as inhibitors of HDM2-p53 interactions for treatment of cancer and autoimmune disease.

IN Lu, Tianbao; Milkiewicz, Karen L.; Raboisson, Pierre; Cummings, Maxwell David; Calvo, Raul R.; Parks, Daniel J.; Lafrance, Louis V.; Marugan, Sanchez Juan Jose; Gushue, Joan; Leonard, Kristi

PA USA

SO U.S. Pat. Appl. Publ., 58 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004220179	A1	20041104	US 2004-829040	20040421
	US 2005227932	A1	20051013	US 2005-72391	20050304
PRAI	US 2003-465264P	P	20030425		
	US 2002-292876	A2	20021113		
	US 2004-829040	A1	20040421		
os	MARPAT 141:395589				
GT				•	

$$(R?)_{n} \xrightarrow{\underset{R3}{\downarrow}} R5$$

Title compds. e.g. [I; Ra = halo, alkyl, alkenyl, alkynyl, cyano, cycloalkyl, OH, alkoxy, CO2H, alkoxycarbonyl, acyl, carbamoyl, (alkyl)aminocarbonyl, alkylthio, amino, NO2; X = alkylene, cycloalkylene, (substituted) arylene, heteroarylene, arylalkylene, heteroarylalkylene; R3 = CO2Rd, CO2M; Rd = H, alkyl, (substituted) cycloalkyl; M = cation; R5, R6 = (substituted) cycloalkyl, aryl, heteroaryl, cycloalkylalkyl, aralkyl, heteroarylalkyl, (unsatd.) heterocyclyl; R7 = H, alkyl, cycloalkyl, cycloalkylalkyl; R8 = H, alkyl; n = 0-2], were prepared Thus, 4-[(R)-1-(2-amino-4-chlorophenyl)ethyl]-(3S)-3-(4-chlorophenyl)-7-iodo-1-[2-(2-methoxyethoxy)ethyl]-1,4-benzodiazepine-2,5-dione inhibited MDM2 binding to a p53 peptide analog with IC50 = 0.1-1.0 μM.

TT 787632-90-0P 787632-91-1P 787632-92-2P 787632-93-3P 787632-94-4P 787632-95-5P 787632-96-6P 787632-97-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzodiazepinediones as inhibitors of HDM2-p53 interactions for treatment of cancer and autoimmune disease)

RN 787632-90-0 CAPLUS

CN 5H-1,4-Benzodiazepin-5-one, 2-amino-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-7-iodo-, (3S)- (9CI) (CA INDEX NAME)

RN 787632-91-1 CAPLUS

CN Acetamide, 2-[[3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-4,5-dihydro-7-iodo-5-oxo-3H-1,4-benzodiazepin-2-yl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-92-2 CAPLUS

CN 5H-1,4-Benzodiazepin-5-one, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-2-[(2-hydroxyethyl)amino]-7-iodo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-93-3 CAPLUS

CN 5H-1,4-Benzodiazepin-5-one, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-2-[(3-hydroxypropyl)amino]-7-iodo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

C1
$$\frac{Me}{R}$$
 $\frac{O}{N}$ $\frac{1}{N}$ $\frac{1}{N}$

RN 787632-94-4 CAPLUS

CN Acetamide, N-[2-[[(3S)-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-4,5-dihydro-7-iodo-5-oxo-3H-1,4-benzodiazepin-2-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-95-5 CAPLUS

CN 5H-1,4-Benzodiazepin-5-one, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-7-iodo-2-(methylamino)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-96-6 CAPLUS

CN 5H-1,4-Benzodiazepin-5-one, 2-[(2-aminoethyl)amino]-3-(4-chlorophenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-7-iodo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-97-7 CAPLUS

CN Propanamide, 3-[[3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-4,5-dihydro-7-iodo-5-oxo-3H-1,4-benzodiazepin-2-yl]amino]- (9CI) (CA INDEX NAME)

=> d 12; d his; log y L2 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation. L2 QUE ABB=ON PLU=ON L1

(FILE 'HOME' ENTERED AT 16:26:06 ON 30 NOV 2005)

FILE 'REGISTRY' ENTERED AT 16:26:14 ON 30 NOV 2005

L1 STRUCTURE UPLOADED

L2 QUE L1

FILE 'STNGUIDE' ENTERED AT 16:27:21 ON 30 NOV 2005

FILE 'REGISTRY' ENTERED AT 16:28:46 ON 30 NOV 2005

L3 0 S L2

L4 8 S L2 FUL

FILE 'CAPLUS' ENTERED AT 16:29:11 ON 30 NOV 2005

L5 2 S L4

FILE 'BEILSTEIN' ENTERED AT 16:29:38 ON 30 NOV 2005

L6 0 S L2

L7 0 S L2 FUL

FILE 'MARPAT' ENTERED AT 16:30:01 ON 30 NOV 2005

L8 0 S L2

L9 2 S L2 FUL

L10 0 S L9 NOT L5

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 113.53 286.44

113.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -1.46

STN INTERNATIONAL LOGOFF AT 16:30:32 ON 30 NOV 2005



- L5 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2004:964998 CAPLUS Full-text
- DN 141:410970
- TI Preparation of substituted 1,4-diazepines as inhibitors of HDM2-p53 interactions
- IN Lu, Tianbao; Milkiewicz, Karen L.; Raboisson, Pierre; Cummings, Maxwell David; Calvo, Raul R.; Parks, Daniel J.; Lafrance, Louis V., III; Marugan Sanchez, Juan Jose; Gushue, Joan; Leonard, Kristi
- PA 3-Dimensional Pharmaceuticals, Inc., USA
- SO PCT Int. Appl., 166 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.					KIND DATE			•	APPL	ICAT	DATE					
ΡI	WO 2004096134			A2 20041111			WO 2004-US12240						20040421				
	W: AE, AG, AL,		AM,														
																GB,	
																KZ,	
																NA,	
																SL,	
																ZM,	
	RW	: BW,															
																DK,	
																SE,	
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
		TD,															
PRAI US 2003-465265P			P		2003	0425							•				
os	OS MARPAT 141:410970																
GI ·						٠											

$$R^8$$
 R^7 R^6 R^5 R^5

The title compds. [I; R = halo, alkyl, CN, cycloalkyl, etc.; n = 0-2; X X = a bivalent radical such as alkanediyl, etc.; R3 = CO2RO, CO2M (wherein R0 = H, alkyl, cycloalkyl; M = cation); R5 = cycloalkyl, aryl, heteroaryl, etc.; R6 = cycloalkyl, aryl, heteroaryl, etc.; R7 = H, alkyl, cycloalkyl, (cycloalkyl)alkyl; R8 = H, alkyl], useful as inhibitors of HDM2-p53 interactions for treating cancer, inflammatory condition or autoimmune disease, were prepared and formulated. E.g., a multi-step synthesis of II, which showed IC50 of 0.1-1.0 μM against binding of p53 to MDM2, was given.

T87632-98-8P 787632-99-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted 1,4-diazepines as inhibitors of HDM2-p53 interactions)

- RN 787632-98-8 CAPLUS
- CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-

chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(methoxycarbonyl)-2,5-dioxo-,1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-99-9 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 7-carboxy-3-(4-chlorophenyl)-4- [(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-, α -(1,1-dimethylethyl) ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
IT
     528849-68-5P 787632-60-4P 787632-61-5P
    787632-62-6P 787632-64-8P 787632-65-9P
    787632-66-0P 787632-67-1P 787632-68-2P
    787632-69-3P 787632-70-6P 787632-71-7P
    787632-72-8P 787632-73-9P 787632-74-0P
    787632-75-1P 787632-76-2P 787632-77-3P
    787632-78-4P 787632-79-5P 787632-80-8P
    787632-81-9P 787632-82-0P 787632-83-1P
    787632-84-2P 787632-85-3P 787632-86-4P
    787632-87-5P 787632-88-6P 787632-89-7P
    787633-00-5P 787633-01-6P 787633-02-7P
    787633-03-8P 787633-04-9P 787633-05-0P
    787633-06-1P 787633-07-2P 787633-08-3P
    787633-09-4P 787633-10-7P 787633-11-8P
    787633-15-2P 787633-16-3P 787633-17-4P
    787633-20-9P 787633-21-0P 787633-23-2P
    787633-24-3P 787633-25-4P 787633-26-5P
    787633-27-6P 787633-28-7P 787633-29-8P
    787633-30-1P 787633-33-4P 787633-34-5P
    787633-35-6P 787633-36-7P 787633-37-8P
    787633-38-9P 787633-40-3P 787633-43-6P
    787633-44-7P 787633-45-8P 787633-48-1P
    787633-49-2P 787633-50-5P 787633-51-6P
    787633-52-7P 787633-53-8P
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 1.4-diazepines as inhibitors of HDM2-p5

(preparation of substituted 1,4-diazepines as inhibitors of HDM2-p53 interactions)

RN 528849-68-5 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[1-(4-chlorophenyl)-2-hydroxyethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-60-4 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 787632-61-5 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-1-[2-(2-methoxyethoxy)ethyl]-7-(1-propynyl)-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

HC1

RN 787632-62-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-1-[2-(4-morpholinyl)ethyl]-7-(1-propynyl)-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 787632-64-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-65-9 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-66-0 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[3-(4-methyl-1-piperazinyl)propyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-67-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN ·787632-68-2 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-7-ethynyl-2,3,4,5-tetrahydro-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

RN 787632-69-3 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-3-[4-(trifluoromethyl)phenyl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-70-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-3-[4-(trifluoromethoxy)phenyl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-71-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-hexanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

RN 787632-72-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-heptanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-73-9 CAPLUS

CN Benzoic acid, 4-[[(3S)-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-1H-1,4-benzodiazepin-1-yl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-74-0 CAPLUS

CN 1H-1,4-Benzodiazepine-1-butanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

RN 787632-75-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-propanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-76-2 CAPLUS

CN 2-Furancarboxylic acid, 5-[[(3S)-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-1H-1,4-benzodiazepin-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-77-3 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 8-chloro-3-(4-chlorophenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)(9CI) (CA INDEX NAME)

RN 787632-78-4 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(4-chlorophenyl)cyclopropylmethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-79-5 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[1-(3,4-dichlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-80-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[1-(3-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-81-9 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[1-(4-chloro-3-nitrophenyl)ethyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-82-0 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-4-[1-(4-methyl-1-naphthalenyl)ethyl]-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-83-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-84-2 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[2-(4-chlorophenyl)-1-methylethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-85-3 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(3-bromophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-86-4 CAPLUS

CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 787632-87-5 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chloro-3-nitrophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-88-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 7-acetyl-3-(4-chlorophenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-, (3S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 787632-89-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(3-amino-4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

RN 787633-00-5 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 7-(aminocarbonyl)-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-01-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(4-chloro-2-methylphenyl)methyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787633-02-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, sodium salt, (3R)- (9CI) (CA INDEX NAME)

Na

RN 787633-03-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(1-hydroxyethyl)-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-04-9 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(1-hydroxyethyl)-2,5-dioxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-05-0 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-7-ethynyl-2,3,4,5-tetrahydro-2,5-dioxo-, sodium salt, (3S)- (9CI) (CA INDEX NAME)

Na

RN 787633-06-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, sodium salt, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 787633-07-2 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(methylthio)-2,5-dioxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-08-3 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(methylthio)-2,5-dioxo-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-09-4 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-7-[(trifluoromethyl)thio]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-10-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(1R)-1-(4-chlorophenyl)ethyl]-3[4-chloro-2-(2-propenyloxy)phenyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-,
(3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-11-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chloro-2-hydroxyphenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)(9CI) (CA INDEX NAME)

RN 787633-15-2 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(2-methylphenyl)-2,5-dioxo-, sodium salt, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 787633-16-3 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, monosodium salt (9CI) (CA INDEX NAME)

● Na

RN

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(2-amino-4-chlorophenyl)methyl]-7-bromo-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-2,5-dioxo-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 787633-20-9 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chloro-5-fluorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-21-0 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chloro-5-hydroxyphenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-chlorophenyl)-1-[4-(dimethylamino)butyl]-3,4-dihydro-7-iodo-(9CI) (CA INDEX NAME)

RN 787633-24-3 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[4-(4-morpholinyl)butyl]- (9CI) (CA INDEX NAME)

RN 787633-25-4 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[4-(4-methyl-1-piperazinyl)butyl](9CI) (CA INDEX NAME)

RN 787633-26-5 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-

chlorophenyl)-1-[3-(dimethylamino)propyl]-3,4-dihydro-7-iodo- (9CI) (CA INDEX NAME)

RN 787633-27-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

RN 787633-28-7 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(4-chloro-2-hydroxyphenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]- (9CI) (CA INDEX NAME)

RN 787633-29-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1S)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3R)-(9CI) (CA INDEX NAME)

RN 787633-30-1 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[3-(4-methyl-1-piperazinyl)propyl](9CI) (CA INDEX NAME)

RN 787633-33-4 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 7-bromo-3-(4-chlorophenyl)-3,4-dihydro-1-methyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 787633-34-5 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 7-bromo-3-(4-chlorophenyl)-3,4-dihydro-1-methyl-4-(1-phenylethyl)- (9CI) (CA INDEX NAME)

RN 787633-35-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(4-chloro-2-nitrophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 787633-36-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-7-(1-propynyl)-, sodium salt, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 787633-37-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]- (9CI) (CA INDEX NAME)

RN 787633-38-9 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1S)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-40-3 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-methyl- (9CI) (CA INDEX NAME)

RN 787633-43-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-1-[2-(2-methoxyethoxy)ethyl]-7-(1-propynyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 787633-44-7 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-1-[2-(4-morpholinyl)ethyl]-7-(1-propynyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$C1$$
 $C1$
 $CH2$
 $CH2$
 $CH2$

HCl

RN 787633-45-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-6-chloro-3-pyridinyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \circ & \text{I} \\ & \text{NH}_2 & \text{CH}_2 - \text{NH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{OMe} \end{array}$$

HCl

RN 787633-48-1 CAPLUS

CN Piperazine, 1-[(3S)-4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-mino-4-chlorophenyl)ethyl

chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-1H-1,4-benzodiazepin-1-yl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 787633-49-2 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(4-chloro-2-methylphenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 787633-50-5 CAPLUS

CN Morpholine, 4-[[(3S)-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-1H-1,4-benzodiazepin-1-yl]acetyl]-(9CI) (CA INDEX NAME)

RN 787633-51-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-52-7 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-53-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]-, (3S)- (9CI) (CA INDEX NAME)

IT 787633-93-6 787633-94-7 787633-98-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of substituted 1,4-diazepines as inhibitors of HDM2-p53
interactions)

RN 787633-93-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 7-acetyl-3-(4-chlorophenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-94-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-98-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(1R)-1-(4-chlorophenyl)ethyl]-3[4-chloro-2-(2-propenyloxy)phenyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-,
1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

TT 787633-63-0P 787633-64-1P 787633-71-0P 787633-83-4P 787633-84-5P 787633-85-6P 787633-95-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted 1,4-diazepines as inhibitors of HDM2-p53 interactions)

RN 787633-63-0 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-64-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(1-hydroxyethyl)-2,5-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 787633-71-0 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chloro-2-hydroxyphenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-,
1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-83-4 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[1-(4-chloro-2-nitrophenyl)ethyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-,1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 787633-84-5 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-,1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 787633-85-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 7-bromo-4-[(4-chloro-2-nitrophenyl)methyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-2,5-dioxo-,

RN 787633-95-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-7-ethynyl-2,3,4,5-tetrahydro-2,5-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 791613-68-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of substituted 1,4-diazepines as inhibitors of HDM2-p53 interactions)

RN 791613-68-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chloro-2-hydroxyphenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-, (3S)- (9CI)
(CA INDEX NAME)

L5 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

I

AN 2004:934324 CAPLUS Full-text

DN 141:395589

Preparation of benzodiazepinediones as inhibitors of HDM2-p53 interactions ΤI for treatment of cancer and autoimmune disease.

IN Lu, Tianbao; Milkiewicz, Karen L.; Raboisson, Pierre; Cummings, Maxwell David; Calvo, Raul R.; Parks, Daniel J.; Lafrance, Louis V.; Marugan, Sanchez Juan Jose; Gushue, Joan; Leonard, Kristi

PA

SO U.S. Pat. Appl. Publ., 58 pp. CODEN: USXXCO

DT Patent

LΑ English

FAN CNT 2

PAN. CNI	2				
PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI US	2004220179	A1	20041104	US 2004-829040	20040421
US	2005227932	A1	20051013	US 2005-72391	20050304
PRAI US	2003-465264P	P	20030425		
US	2002-292876	A2	20021113		
US	2004-829040	A1	20040421		
OS MAR	PAT 141:395589				
GT					

RN

$$(R?)_{n} \xrightarrow{\underset{R3\cancel{X}}{\text{N}}} R^{8}$$

AB Title compds. e.g. [I; Ra = halo, alkyl, alkenyl, alkynyl, cyano, cycloalkyl, OH, alkoxy, CO2H, alkoxycarbonyl, acyl, carbamoyl, (alkyl)aminocarbonyl, alkylthio, amino, NO2; X = alkylene, cycloalkylene, (substituted) arylene, heteroarylene, arylalkylene, heteroarylalkylene; R3 = CO2Rd, CO2M; Rd = H, alkyl, (substituted) cycloalkyl; M = cation; R5, R6 = (substituted) cycloalkyl, aryl, heteroaryl, cycloalkylalkyl, aralkyl, heteroarylalkyl, (unsatd.) heterocyclyl; R7 = H, alkyl, cycloalkyl, cycloalkylalkyl; R8 = H, alkyl; n = 0-2], were prepared Thus, 4-[(R)-1-(2-amino-4-chlorophenyl)ethyl]-(3S)-3-(4-chlorophenyl)-7-iodo-1-[2-(2-methoxyethoxy)ethyl]-1,4benzodiazepine-2,5-dione inhibited MDM2 binding to a p53 peptide analog with $IC50 = 0.1-1.0 \mu M.$

IT 787632-60-4P, 4-[1-(2-Amino-4-chlorophenyl)ethyl]-3-(4chlorophenyl)-7-iodo-1-[2-(4-morpholino)ethyl]-1,4-benzodiazepine-2,5dione 787632-61-5P 787632-62-6P 787632-64-8P 787632-65-9P 787632-66-0P 787632-67-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of benzodiazepinediones as inhibitors of HDM2-p53 interactions for treatment of cancer and autoimmune disease) 787632-60-4 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 787632-61-5 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-1-[2-(2-methoxyethoxy)ethyl]-7-(1-propynyl)-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 787632-62-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-1-[2-(4-morpholinyl)ethyl]-7-(1-propynyl)-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 787632-64-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-65-9 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-66-0 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[3-(4-methyl-1-piperazinyl)propyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-67-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA

```
IT
     528849-68-5P 787632-68-2P 787632-69-3P
     787632-70-6P 787632-71-7P 787632-72-8P
     787632-73-9P 787632-74-0P 787632-75-1P
     787632-76-2P 787632-77-3P 787632-78-4P
     787632-79-5P 787632-80-8P 787632-81-9P
     787632-82-0P 787632-83-1P 787632-84-2P
     787632-85-3P 787632-86-4P 787632-87-5P
     787632-88-6P 787632-89-7P 787632-98-8P
     787632-99-9P 787633-00-5P 787633-01-6P
     787633-02-7P 787633-03-8P 787633-04-9P
     787633-05-0P 787633-06-1P 787633-07-2P
     787633-08-3P 787633-09-4P 787633-10-7P
     787633-11-8P 787633-15-2P 787633-16-3P
     787633-17-4P 787633-20-9P 787633-21-0P
     787633-23-2P 787633-24-3P 787633-25-4P
     787633-26-5P 787633-27-6P 787633-28-7P
     787633-29-8P 787633-30-1P 787633-33-4P
     787633-34-5P 787633-35-6P 787633-36-7P
     787633-37-8P 787633-38-9P 787633-40-3P
     787633-43-6P 787633-44-7P 787633-45-8P
     787633-48-1P 787633-49-2P 787633-50-5P
     787633-51-6P 787633-52-7P 787633-53-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of benzodiazepinediones as inhibitors of HDM2-p53 interactions
        for treatment of cancer and autoimmune disease)
RN
     528849-68-5 CAPLUS
CN
     1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[1-(4-
     chlorophenyl)-2-hydroxyethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo- (9CI)
     (CA INDEX NAME)
```

RN 787632-68-2 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-7-ethynyl-2,3,4,5-tetrahydro-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-69-3 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-3-[4-(trifluoromethyl)phenyl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-70-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-3-[4-(trifluoromethoxy)phenyl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-71-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-hexanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

RN 787632-72-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-heptanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-73-9 CAPLUS

CN Benzoic acid, 4-[[(3S)-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-1H-1,4-benzodiazepin-1-yl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-74-0 CAPLUS

CN 1H-1,4-Benzodiazepine-1-butanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA

RN 787632-75-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-propanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-76-2 CAPLUS

CN 2-Furancarboxylic acid, 5-[[(3S)-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-1H-1,4-benzodiazepin-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-77-3 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 8-chloro-3-(4-chlorophenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)-

RN 787632-78-4 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(4-chlorophenyl)cyclopropylmethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-79-5 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[1-(3,4-dichlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-80-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[1-(3-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-81-9 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[1-(4-chloro-3-nitrophenyl)ethyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-82-0 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-4-[1-(4-methyl-1-naphthalenyl)ethyl]-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-83-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-84-2 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[2-(4-chlorophenyl)-1-methylethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787632-85-3 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(3-bromophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-86-4 CAPLUS

CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 787632-87-5 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chloro-3-nitrophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-88-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 7-acetyl-3-(4-chlorophenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-, (3S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 787632-89-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(3-amino-4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

RN 787632-98-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(methoxycarbonyl)-2,5-dioxo-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787632-99-9 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 7-carboxy-3-(4-chlorophenyl)-4- [(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-, α -(1,1-dimethylethyl) ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-00-5 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 7-(aminocarbonyl)-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

RN 787633-01-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(4-chloro-2-methylphenyl)methyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 787633-02-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, sodium salt, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 787633-03-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(1-hydroxyethyl)-2,5-dioxo-, (3S)- (9CI) (CA INDEX NAME)

RN 787633-04-9 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(1-hydroxyethyl)-2,5-dioxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-05-0 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-7-ethynyl-2,3,4,5-tetrahydro-2,5-dioxo-, sodium salt, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 787633-06-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, sodium salt, (3S)- (9CI) (CA INDEX NAME)

Na

RN 787633-07-2 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(methylthio)-2,5-dioxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-08-3 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(methylthio)-2,5-dioxo-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-09-4 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-7-[(trifluoromethyl)thio]-, (3S)- (9CI) (CA INDEX NAME)

RN 787633-10-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(1R)-1-(4-chlorophenyl)ethyl]-3[4-chloro-2-(2-propenyloxy)phenyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-,
(3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-11-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chloro-2-hydroxyphenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, (3S)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-15-2 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(2-methylphenyl)-2,5-dioxo-,

Na

RN 787633-16-3 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 787633-17-4 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(2-amino-4-chlorophenyl)methyl]-7-bromo-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-2,5-dioxo-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 787633-20-9 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chloro-5-

fluorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-21-0 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1R)-1-(2-amino-4-chloro-5-hydroxyphenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 787633-23-2 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-chlorophenyl)-1-[4-(dimethylamino)butyl]-3,4-dihydro-7-iodo- (9CI) (CA INDEX NAME)

RN 787633-24-3 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[4-(4-morpholinyl)butyl]- (9CI) (CA INDEX NAME)

RN 787633-25-4 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[4-(4-methyl-1-piperazinyl)butyl](9CI) (CA INDEX NAME)

RN 787633-26-5 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-chlorophenyl)-1-[3-(dimethylamino)propyl]-3,4-dihydro-7-iodo-(9CI) (CA INDEX NAME)

RN 787633-27-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

RN 787633-28-7 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(4-chloro-2-hydroxyphenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]- (9CI) (CA INDEX NAME)

RN 787633-29-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1S)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-30-1 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-4-chlorophenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[3-(4-methyl-1-piperazinyl)propyl]-(9CI) (CA INDEX NAME)

RN 787633-33-4 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 7-bromo-3-(4-chlorophenyl)-3,4-dihydro-1-methyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 787633-34-5 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 7-bromo-3-(4-chlorophenyl)-3,4-dihydro-1-methyl-4-(1-phenylethyl)- (9CI) (CA INDEX NAME)

RN 787633-35-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(4-chloro-2-nitrophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 787633-36-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-7-(1-propynyl)-, sodium salt, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 787633-37-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]- (9CI) (CA INDEX NAME)

RN 787633-38-9 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(1S)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]-, (3R)-(9CI) (CA INDEX NAME)

RN 787633-40-3 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-methyl- (9CI) (CA INDEX NAME)

RN 787633-43-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-1-[2-(2-methoxyethoxy)ethyl]-7-(1-propynyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$C1$$
 $CH_2-CH_2-O-CH_2-CH_2-OMe$

HCl

RN 787633-44-7 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-3,4-dihydro-1-[2-(4-morpholinyl)ethyl]-7-(1-propynyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 787633-45-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(2-amino-6-chloro-3-pyridinyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 787633-48-1 CAPLUS

CN Piperazine, 1-[[(3S)-4-[(1R)-1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-1H-1,4-benzodiazepin-1-yl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 787633-49-2 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[(4-chloro-2-methylphenyl)methyl]-3-(4-chlorophenyl)-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 787633-50-5 CAPLUS

CN Morpholine, 4-[[(3S)-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-1H-1,4-benzodiazepin-1-yl]acetyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-51-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3S)-(9CI) (CA INDEX NAME)

RN 787633-52-7 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-7-iodo-1-[2-(4-morpholinyl)ethyl]-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-53-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-3,4-dihydro-7-iodo-1-[2-(2-methoxyethoxy)ethyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

TT 787633-93-6 787633-94-7 787633-95-8 787633-98-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzodiazepinediones as inhibitors of HDM2-p53 interactions for treatment of cancer and autoimmune disease)

RN 787633-93-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 7-acetyl-3-(4-chlorophenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 787633-94-7 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-95-8 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-7-ethynyl-2,3,4,5-tetrahydro-2,5-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-98-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[(1R)-1-(4-chlorophenyl)ethyl]-3[4-chloro-2-(2-propenyloxy)phenyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-,
1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

TT 787633-63-0P 787633-64-1P 787633-71-0P 787633-83-4P 787633-84-5P 787633-85-6P 787634-02-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzodiazepinediones as inhibitors of HDM2-p53 interactions for treatment of cancer and autoimmune disease)

RN 787633-63-0 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-64-1 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-(1-hydroxyethyl)-2,5-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chloro-2-hydroxyphenyl)-4[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-,
1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787633-83-4 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[1-(4-chloro-2-nitrophenyl)ethyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-,1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 787633-84-5 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[1-(2-amino-4-chlorophenyl)ethyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-,1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 787633-85-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 7-bromo-4-[(4-chloro-2-nitrophenyl)methyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-2,5-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 787634-02-0 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 7-(aminocarbonyl)-3-(4-chlorophenyl)-4-[(1R)-1-(4-chlorophenyl)ethyl]-2,3,4,5-tetrahydro-2,5-dioxo-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

- L5 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:913286 CAPLUS Full-text
- DN 140:776
- ${\tt TI}$ Method using benzodiazepine compounds for cytoprotection through MDM2 and HDM2 inhibition
- IN Koblish, Holly K.; Manthey, Carl L.; Molloy, Christopher J.; Lu, Tianbao; Parks, Daniel J.; Lafrance, Luis V., III; Milkiewicz, Karen L.; Carver, Ted; Grasberger, Bruce L.
- PA 3-Dimensional Pharmaceuticals, Inc., USA
- SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

FAN.	CNT	1																		
	PATENT NO.						D	DATE			APPLICATION NO.						DATE			
							-													
ΡI	WO 2003095625					A2		20031120			WO 2	003-	US14		20030513					
	WO 2003095625					A3 20040715														
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,		
			LS,	LT,	·LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,		
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,		
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
		RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AM,	AZ,	BY,		
			KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
			FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,		
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
PRAI	BF, BJ, CF, CG, CI, CM, G I US 2002-379617P P 200205																			

OS MARPAT 140:776

AB The invention provides a method for protecting one or more cells from programmed cytotoxic cell death by contacting the cells with a cytoprotective amount of an MDM2 and/or HDM2 inhibitor. The cytoprotective amount of inhibitor is typically used as a pulsed administration. Useful inhibitors include a class of 1,4-benzodiazepines which act as inhibitors of MDM2-p53 interactions. The method of the invention can be employed as an adjunct to chemotherapy or radiation therapy. In addition, the methods of the invention can be employed to treat a disease or condition that involves excessive cell death.

IT 528848-14-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (benzodiazepine compds. for cytoprotection through MDM2 and HDM2 inhibition)

- RN 528848-14-8 CAPLUS
- CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-1-[(4-methylphenyl)methyl]-2,5-dioxo-α-phenyl- (9CI) (CA INDEX NAME)

```
L5 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
```

AN 2003:396722 CAPLUS Full-text

DN 138:401764

TI Preparation of substituted 1,4-benzodiazepinediones as MDM2 oncoprotein inhibitors for the treatment of cancer

IN Lu, Tianbao; Lafrance, Louis V., III; Parks, Daniel J.; Milkiewicz, Karen L.; Calvo, Raul R.; Cummings, Maxwell David; Kim, Alexander J.; Grasberger, Bruce L.; Carver, Theodore E., Jr.

PA 3-Dimensional Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 139 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

1711.	PATENT NO.					KIND		DATE		APPLICATION NO.						DATE			
PI	WO	WO 2003041715			A1 20030522			,	 WO 2	002-	US36	20021113							
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
								DK,											
								IN,											
								MD,											
								SD,											
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
																		ES,	
			FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	
			CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
	CA 2466055				AA 20030522				(CA 2	002-2	2466	20021113						
	US 2003109518			A1	A1 20030612			1	US 2	002-2	2928	20021113							
	EP	1443	937			A1	A1 20040811			1	EP 2	002-	77882						
٠.		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB;	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK			
	BR 2002014048					Α		2004	1013	BR 2002-14048						20021113			
	JP 2005509005				Т2		2005	0407	,	JP 20	003-	54360	20021113						
	zA	ZA 2004003082				Α		2005	0422	ZA 2004-3082					20040422				
	NO	2004	00214	46		Α		20040811		NO 2004-2146					20	040	525		
PRAI	บร	2001	-3312	235P		P		20011113											
	WO 2002-US36208							2002	1113										
os	MAF	RPAT :	138:4	4017	54														
GI																			

Title compds. I [wherein X and Y = independently CO, CH2, or CS; R1-R4 = independently H, halo, (cyclo)alkyl, alkenyl, alkynyl, alkoxy(carbonyl), CN, NH2, alkanoylamino, NO2, OH, CO2H, and (un)substituted (hetero)aryl, (hetero)aralkyl, or (hetero)aryloxy; or R1R2, R2R3, or R3R4 = (CH2)u, CH=CHCH=CH, or CH2CH=CHCH2; u = 3-6; R5 = H, (cyclo)alkyl, carboxyalkyl, alkoxycarbonyl(alkyl), (alkyl)aminocarbonyl(alkyl), or (un)substituted (hetero)aryl or (hetero)aralkyl; R6 and R9 = independently (un)substituted cycloalkyl(alkyl), (hetero)aryl, (hetero)aralkyl, or heterocyclyl; R7 and R8 = independently H or alkyl; R10 = (CH2)nCO2Rb, (CH2)mCO2M, (CH2)iOH, or (CH2)jCONRCRd; Rb = H, alkyl, or (un)substituted cycloalkyl or heterocyclyl; M

= a cation; Rc and Rd = independently H or (un)substituted (cyclo)alkyl. (hetero)alkyl, (hetero)aralkyl, or heterocyclyl; n = 0-8; m = 0-8; i = 1-8; j = 1-8= 0-8; and solvates, hydrates, and pharmaceutically acceptable salts thereof] were prepared as inhibitors of HDM2-p53 interactions. Examples include general synthetic procedures and phys. data for 216 invention compds., as well as exptl. details and results from a fluorescent peptide assay. For instance, the benzodiazepinedione II, which was prepared starting from an anthranilic acid derivative, inhibited the binding of a p53 peptide analog to MDM2 residues 17-125 with an IC50 value of 1.7 μM . Thus, I are useful for the prevention or treatment of a variety of cancers, inflammatory conditions, and autoimmune diseases (no data). **528848-05-7P**, [1-Benzyl-3-(4-chlorophenyl)-7-iodo-2,5-dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid **528848-06-8P**, [3-(4-Chlorophenyl)-7-iodo-2,5-dioxo-1-phenethyl-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid **528848-07-9P**, [3-(4-Chlorophenyl)-7-iodo-1-isobutyl-2,5-dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid 528848-08-0P, [3-(4-Chlorophenyl)-7-iodo-1-(3-methylbutyl)-2,5dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid **528848-09-1P**, [3-(4-Chlorophenyl)-1-cyclobutylmethyl-7-iodo-2,5dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid **528848-10-4P**, [3-(4-Chlorophenyl)-1-cyclopentylmethyl-7-iodo-2,5dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid 528848-11-5P, [3-(4-Chlorophenyl)-1-cyclohexylmethyl-7-iodo-2,5dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid **528848-12-6P**, [3-(4-Chlorophenyl)-7-iodo-1-(2-methylbenzyl)-2,5dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid 528848-13-7P, [3-(4-Chlorophenyl)-7-iodo-1-(3-methylbenzyl)-2,5dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid 528848-14-8P, [3-(4-Chlorophenyl)-7-iodo-1-(4-methylbenzyl)-2,5dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid 528848-15-9P, [3-(4-Chlorophenyl)-7-iodo-1-(naphthalen-2-yl)methyl-2,5-dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid 528848-16-0P, [3-(4-Chlorophenyl)-7-iodo-2,5-dioxo-1-(pyridin-2ylmethyl)-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid 528848-17-1P, [3-(4-Chlorophenyl)-7-iodo-2,5-dioxo-1-(pyridin-3ylmethyl)-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid 528848-18-2P, [3-(4-Chlorophenyl)-7-iodo-2,5-dioxo-1-(pyridin-4ylmethyl)-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid 528848-21-7P, [3-(4-Chlorophenyl)-7-iodo-1-methyl-2,5-dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid **528848-22-8P**, [3-(4-Chlorophenyl)-7-iodo-2,5-dioxo-1-(3phenylpropyl)-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]phenylacetic acid 528849-41-4P, 3-[4-[(Carboxy)(4-chlorophenyl)methyl]-3-(4chlorophenyl)-7-iodo-2,5-dioxo-2,3,4,5-tetrahydrobenzo[e][1,4]diazepin-1yl]propionic acid 528849-43-6P, [1-(2-tert-Butoxycarbonylaminoethyl)-3-(4-chlorophenyl)-7-iodo-2,5-dioxo-1,2,3,5tetrahydrobenzo[e][1,4]diazepin-4-yl](4-chlorophenyl)acetic acid **528849-45-8P**, (4-Chlorophenyl)[3-(4-chlorophenyl)-7-iodo-2,5-dioxo-1-[2-(pyridin-2-yl)ethyl]-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4yl]acetic acid 528849-46-9P, (4-Chlorophenyl)[3-(4-chlorophenyl)-7-iodo-1-(methylcarbamoylmethyl)-2,5-dioxo-1,2,3,5tetrahydrobenzo[e][1,4]diazepin-4-yl]acetic acid 528849-49-2P, (4-Chlorophenyl)[3-(4-chlorophenyl)-7-iodo-1-methyl-2,5-dioxo-1,2,3,5tetrahydrobenzo[e][1,4]diazepin-4-yl]acetic acid 528849-51-6P, [1-Carboxymethyl-3-(4-chlorophenyl)-7-iodo-2,5-dioxo-1,2,3,5tetrahydrobenzo[e][1,4]diazepin-4-yl](4-chlorophenyl)acetic acid methyl ester 528849-53-8P, (4-Chlorophenyl)[3-(4-chlorophenyl)-1-((R)-2,3-dihydroxypropyl)-7-iodo-2,5-dioxo-1,2,3,5tetrahydrobenzo[e][1,4]diazepin-4-yl]acetic acid 528849-66-3P,

ΙT

(4-Chlorophenyl) [3-(4-chlorophenyl)-1-((S)-2,3-dihydroxypropyl)-7-iodo-2,5-dioxo-1,2,3,5-tetrahydrobenzo[e][1,4]diazepin-4-yl]acetic acid 528849-67-4P, 5-[4-[(Carboxy) (4-chlorophenyl)methyl]-3-(4-chlorophenyl)-7-iodo-2,5-dioxo-2,3,4,5-tetrahydrobenzo[e][1,4]diazepin-1-yl]pentanoic acid 528849-68-5P, 5-[3-(4-Chlorophenyl)-4-[1-(4-chlorophenyl)-2-hydroxyethyl]-7-iodo-2,5-dioxo-2,3,4,5-tetrahydrobenzo[e][1,4]diazepin-1-yl]pentanoic acid 528849-69-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(anticancer agent; preparation of benzodiazepinediones as p53 MDM2 binding inhibitors for treatment of cancer, inflammatory conditions, and autoimmune diseases)

RN 528848-05-7 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo-α-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 528848-06-8 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo-α-phenyl-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 528848-07-9 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-1-(2-methylpropyl)-2,5-dioxo-α-phenyl- (9CI) (CA INDEX NAME)

RN 528848-08-0 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-1-(3-methylbutyl)-2,5-dioxo-α-phenyl- (9CI) (CA INDEX NAME)

RN 528848-09-1 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1-(cyclobutylmethyl)-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo-α-phenyl-(9CI) (CA INDEX NAME)

RN 528848-10-4 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1-(cyclopentylmethyl)-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo-α-phenyl-(9CI) (CA INDEX NAME)

RN 528848-11-5 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1-

(cyclohexylmethyl)-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo- α -phenyl-(9CI) (CA INDEX NAME)

RN 528848-12-6 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-1-[(2-methylphenyl)methyl]-2,5-dioxo-α-phenyl- (9CI) (CA INDEX NAME)

RN 528848-13-7 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-1-[(3-methylphenyl)methyl]-2,5-dioxo-α-phenyl- (9CI) (CA INDEX NAME)

RN 528848-14-8 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-1-[(4-methylphenyl)methyl]-2,5-dioxo-α-phenyl- (9CI) (CA INDEX NAME)

RN 528848-15-9 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-1-(2-naphthalenylmethyl)-2,5-dioxo- α -phenyl- (9CI) (CA INDEX NAME)

RN 528848-16-0 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo-α-phenyl-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 528848-17-1 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo- α -phenyl-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 528848-18-2 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo- α -phenyl-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 528848-21-7 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, 3-(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-1-methyl-2,5-dioxo-α-phenyl- (9CI) (CA INDEX NAME)

RN

RN 528849-41-4 CAPLUS

CN 1H-1,4-Benzodiazepine-1-propanoic acid, 4-[carboxy(4-chlorophenyl)methyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 528849-43-6 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, α,3-bis(4-chlorophenyl)-1-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 528849-45-8 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, α,3-bis(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo-1-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 528849-46-9 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, α,3-bis(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-1-[2-(methylamino)-2-oxoethyl]-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 528849-49-2 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, α ,3-bis(4-chlorophenyl)-1,2,3,5-tetrahydro-7-iodo-1-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)

RN 528849-51-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1,4(5H)-diacetic acid, α4,3-bis(4-chlorophenyl)-2,3-dihydro-7-iodo-2,5-dioxo-, 4-methyl ester (9CI) (CA INDEX NAME)

RN 528849-53-8 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, α,3-bis(4-chlorophenyl)-1-[(2R)-2,3-dihydroxypropyl]-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 528849-66-3 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetic acid, α ,3-bis(4-chlorophenyl)-1-[(2S)-2,3-dihydroxypropyl]-1,2,3,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 528849-67-4 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 4-[carboxy(4-chlorophenyl)methyl]-3-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 528849-68-5 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[1-(4-chlorophenyl)-2-hydroxyethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RN 528849-69-6 CAPLUS

CN 1H-1,4-Benzodiazepine-1-pentanoic acid, 3-(4-chlorophenyl)-4-[1-(4-chlorophenyl)-2-(diethylamino)-2-oxoethyl]-2,3,4,5-tetrahydro-7-iodo-2,5-dioxo-(9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:320256 CAPLUS Full-text
- DN 140:77117
- Diastereoselective alkylation of a chiral 1,4-benzodiazepine-2,5-dione containing the α -phenethyl group. Attempted asymmetric synthesis of α,β -diaminopropionic acid
- AU Becerril, Amayaly; Leon-Romo, Jose Luis; Avina, Judit; Castellanos, Elena; Juaristi, Eusebio
- CS Departmento de Quimica, Centro de Investigacion y de Estudios Avanzados del Instituto Politecnico Nacional, Mexico, D.F., 07000, Mex.
- SO ARKIVOC (Gainesville, FL, United States) (2002), (12), 4-14 CODEN: AGFUAR

URL: http://www.arkat-usa.org/ark/journal/2002/Muchowski/JM-602F/602F.pdf

- PB Arkat USA Inc.
- DT Journal; (online computer file)
- LA English
- OS CASREACT 140:77117

GI

AB Alkylation of chiral benzodiazepinedione (S)-I with LDA or LHMDS with N- (bromomethyl)-phthalimide (a protected derivative of bromomethylamine), via the lithium enolate of (S)-I in the presence of HMPA as cosolvent was accomplished in moderate yield and good diastereoselectivity. Hydrolysis of the resultant major diastereomeric product (S,R)-II with 57% HI afforded the desired α,β -aminopropionic acid in good yield albeit in racemic form, probably due to β -elimination-addition of ammonia under these conditions.

IT 641630-70-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(base promoted diastereoselective alkylation of chiral N-methyl-N'-phenethylbenzodiazepinedione)

- RN 641630-70-8 CAPLUS
- CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-3,4-dihydro-1-methyl-4-[(1S)-1-phenylethyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 641630-71-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (base promoted diastereoselective alkylation of chiral N-methyl-N'-phenethylbenzodiazepinedione)

RN 641630-71-9 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-3,4-dihydro-1-methyl-4-[(1S)-1-phenylethyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
```

AN 2003:242698 CAPLUS Full-text

DN 138:385412

TI Solid-Phase Synthesis of 7-Acylamino-1,4-benzodiazepine-2,5-diones

AU Ettmayer, Peter; Chloupek, Stefan; Weigand, Klaus

CS Novartis Forschungsinstitut, Vienna, A-1235, Austria

SO Journal of Combinatorial Chemistry (2003), 5(3), 253-259 CODEN: JCCHFF; ISSN: 1520-4766

PB American Chemical Society

DT Journal

LA English

OS CASREACT 138:385412

AB A method for the synthesis of polymer-bound 7-acylamino-benzodiazepine-2,5-diones is described. The amino group of an α-amino acid is linked to polystyrene or TentaGel resin via reductive amination of polymer-bound 4-alkoxy-2,6-dimethoxybenzaldehyde. Acylation with unprotected 5-nitroanthranilic acid is followed by base-catalyzed ring closure. Reduction of the nitro group yields enantiomerically pure 7-aminobenzodiazepin-2,5-dione attached via the N-4 atom to the resin. Acylation of the amino group on the aromatic ring with acid chlorides in N-methylpyrrolidone (no DMF, no base) followed by cleavage from the resin using TFA/Me2S/water (90:5:5) provides the acylated benzodiazepinones in 52-69% (PS resin) and 41-48% (TG resin) yield (based on the theor. loading) and >70% purity (HPLC, 210 nm). Using Fmocprotected tyrosine fluoride in NMP gives the amino acid-coupled benzodiazepinones in 24% (PS resin) and 31% (TG resin) yield.

IT 528603-50-1DP, polymer-supported 528603-52-3DP,

polymer-supported

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of 7-acylamino-1,4-benzodiazepine-2,5-diones)

RN 528603-50-1 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-(cyclohexylmethyl)-3,4-dihydro-4-[(4-hydroxy-2,6-dimethoxyphenyl)methyl]-1-methyl-7-nitro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 528603-52-3 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 7-amino-3-(cyclohexylmethyl)-3,4-dihydro-4-[(4-hydroxy-2,6-dimethoxyphenyl)methyl]-1-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:172564 CAPLUS Full-text

DN 136:355222

TI A New Resin-Bound Universal Isonitrile for the Ugi 4CC Reaction: Preparation and Applications to the Synthesis of 2,5-Diketopiperazines and 1,4-Benzodiazepine-2,5-diones

AU Kennedy, April L.; Fryer, Andrew M.; Josey, John A.

CS Array BioPharma, Boulder, CO, 80301, USA

SO Organic Letters (2002), 4(7), 1167-1170 CODEN: ORLEF7; ISSN: 1523-7060

PB American Chemical Society

DT Journal

LA English

OS CASREACT 136:355222

AB The preparation and synthetic applications of a novel resin-bound isonitrile are described. The resin is an example of a novel convertible isonitrile that can be utilized in the Ugi multicomponent reaction. Base-activation of the resin-bound Ugi product results in cleavage via formation of a N-acyloxazolidone that is then trapped as a carboxylic acid ester. This resin and the methodol. described are suitable for synthesizing diversity libraries of 2,5-diketopiperazines and 1,4-benzodiazepine-2,5-diones.

IT 422309-10-2P 422309-12-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of 2,5-diketopiperazine and 1,4-benzodiazepine-2,5-dione libraries via Ugi four-component condensation with polymer-supported isocyanide)

RN 422309-10-2 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[2-(4-chlorophenyl)ethyl]-3-cyclohexyl-3,4-dihydro-1-methyl- (9CI) (CA INDEX NAME)

RN 422309-12-4 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-cyclohexyl-3,4-dihydro-4-[3-(1H-imidazol-1-yl)propyl]-1-methyl- (9CI) (CA INDEX NAME)

$$\bigcap$$
R

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
```

AN 2001:115088 CAPLUS Full-text

DN 134:178141

TI Preparation of oxoazacycloalkanes and analogs

IN Hulme, Christopher; Morton, George C.; Salvino, Joseph M.; Labaudiniere, Richard F.; Mason, Helen J.; Morrissette, Mathew M.; Ma, Liang; Cherrier, Marie-Pierre

PA Aventis Pharmaceuticals Products, Inc., USA

SO PCT Int. Appl., 176 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

						KIN		DATE			APPLICATION NO.						DATE		
ΡI	WO	WO 2001010799						WO 2000-US21257							20000803				
									AZ,										
									DZ,										
			HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KE	?,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MΧ	ζ, :	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
									TJ,							UG,	US,	UZ,	VN,
									KG,										
		RW:							SD,										
									GR,								SE,	BF,	ВJ,
			CF,	CG,	CI,	CM,		-	GW,	-		•	-	•	•				
	US 6492553								US 1999-368213										
									EP 2000-955355						20000803				
	EP	1212							1027										
		R:							FR,	GB,	GF	₹,	IT,	LI,	LU,	NL,	MC,	ΙE,	SI,
								CY,											
	JP 2003506420								JP 2001-515272										
	AT 280744								AT 2000-955355										
	ES 2230143			Т3	T3 20050501				ES 2000-955355 HK 2002-108269										
		1046									HK	20	02-1	L082	59		20	0021	115
PRAI		1999																	
		1998- 1998-																	
						_		19980											
		1998- 1998-																	
		1999																	
		2000-						20000											
os		REAC								111									
GI		illac.	. 13.	1 · 1 / () T 4 T /	, L'APAI	/LWI	134	. 1 / 01	1.4.T									
-																			

AB The title process comprises, e.g., Ugi condensation of N-protected anthranilic acids, amines, aldehydes, and an isocyanide followed by deprotection and cyclization. Thus, 2-(BocMeN)C6H4CO2H, imidazole-1-propanamine, PhCH2CH2CHO, and an isocyanide were combined to give title compound I.

IT 214854-07-6P 325954-59-4P 325954-60-7P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (preparation of oxoazacycloalkanes and analogs)

RN 214854-07-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-4-[3-(1H-imidazol-1-yl)propyl]-1-methyl-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 325954-59-4 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetamide, N-butyl-1,2,3,5-tetrahydro-1-methyl-2,5-dioxo- α -(2-phenylethyl)-3-(phenylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 325954-60-7 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetamide, 1,2,3,5-tetrahydro-1-methyl-2,5-dioxo- α -phenyl-N,3-bis(phenylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
```

AN 1999:495272 CAPLUS Full-text

DN 131:130011

- TI Preparation of N-acyl-2-aminoacetamides and cyclization products thereof.
- IN Hulme, Christopher; Morton, George C.; Salvino, Joseph M.; Labaudiniere, Richard F.; Mason, Helen J.; Morrissette, Matthew M.; Ma, Liang; Cherrier, Marie-Pierre
- PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
- SO PCT Int. Appl., 156 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.				KIND DATE			APPLICATION NO.						DATE					
ΡI	WO 9938844			71 1000005			WO 1999-US1923												
	W: AL, AM, AT,			WT 73 DY 11V			B.C	מפ	בעענו סע	0219	CII	19990129							
		•••	EE,	EC	MI,	AU,	AL,	CU	DD,	DG,	DK,	DI,	CA,	CN,	CU,	CZ,	DE,	DK,	
			TK	TD,	TC,	Tm	GE,	Un,	MD,	TL,	10,	JP,	NE,	KG,	KP,	KR,	KZ,	LC,	
												MN,							
			W.	VII	2U,	DE,	3G,	DY,	or,	DL,	TU,	TM,	TK,	TT,	UA,	UG,	us,	UZ,	
		DW.										RU,			av	D.E.	D. 7.	В0	
		1.41.										AT,							
												PT,	JE,	Dr,	ь,	Cr,	CG,	CI,	
	CA :	CM, GA, GN, GW, ML, MR, NE, 2318601 AA 19990805												10000100					
		74798				R2	R2 20020			AU 1999-24821						13330123			
																	aaan.	120	
	EP	10513	397			A1	Δ1 20000115		1115	ZA 1999-729 EP 1999-904421						19990129			
												IT,							
			IE.	SI,	FI.	RO.	,	,	,		011,	,	DI,	ы,	ΝД,	JE,	MC,	ΕΙ,	
	BR S	99082			-	A	:	2000	1128	1	BR 1	999-1	3207			10	9901	29	
	JP 2002501944																		
		64925						L210					19990804						
	NO 2	20000	00379								NO 2000-3792								
	BG :	10472	24			Α			0330			000-							
PRAI	US :	1998-	-7300)7P		A2		19980	129										
	US :	1998-	-9840)4P		A2		19980	0831										
	US 3	1998-	-9870	98P		A2	:	19980	901										
	US 1	1998-	-1010)56P		A2	:	19980	918										
	WO I	1999-	-US19	23		W		19990	129									•	
os	MARI	PAT 1	131:1	13001	1														

AB RaRbNCRcaRcbRd Ra = RaaCO; Dd = CONHRda; Raa, Rb, Rca, Rcb = H, (substituted) aliphatyl, aryl; Rda = (substituted) aliphatyl, aryl; with provisos were prepared by reaction of RcaCORcb with RbNH2, RaCO2H, and NCRda. Title compds. may be prepared on a isocyanide resin and deprotected/cyclized to give 1,4-benzodiazepine-2,5-diones, diketopiperazines, ketopiperazines, lactams, 1,4-benzodiazapines, and dihydroquinoxalinones.

IT 214854-07-6P 234781-74-9P 234781-75-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of N-acyl-2-aminoacetamides and cyclization products thereof)

RN 214854-07-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-4-[3-(1H-imidazol-1-yl)propyl]-1-methyl-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 234781-74-9 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetamide, N-butyl-1,2,3,5-tetrahydro-1-methyl-2,5-dioxo- α -(2-phenylethyl)-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 234781-75-0 CAPLUS

CN 4H-1,4-Benzodiazepine-4-acetamide, 1,2,3,5-tetrahydro-1-methyl-2,5-dioxo- α -phenyl-N,3-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:197527 CAPLUS Full-text

DN 130:325356

TI Enantioselective Synthesis of α -Amino Acids from Chiral 1,4-Benzodiazepine-2,5-diones Containing the α -Phenethyl Group

AU Juaristi, Eusebio; Leon-Romo, Jose Luis; Ramirez-Quiros, Yara

CS Departamento de Quimica Centro de Investigacion y de Estudios Avanzados, Instituto Politecnico Nacional, Mexico City, 07000, Mex.

SO Journal of Organic Chemistry (1999), 64(8), 2914-2918 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 130:325356

GI

AB Chiral benzodiazepinedione (S)-I was prepared in good yield from N-methylisatoic anhydride and (S)-a-phenylethylamine. Enolate (S)-I-Li was alkylated in high yield and with good diastereoselectivity with various electrophiles and in the presence of HMPA as cosolvent. Hydrolysis of the main products with 57% HI proceeded in excellent yield to afford enantiopure asubstituted a-amino acids.

IT . 223755-94-0P

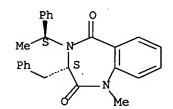
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(enantioselective synthesis of α -amino acids from chiral benzodiazepinediones containing the α -phenethyl group)

RN 223755-94-0 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-1-methyl-4-[(1S)-1-phenylethyl]-3-(phenylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 11 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
AN
     1998:632419 CAPLUS Full-text
DN
     130:3837
TI
     Improved Procedure for the Solution Phase Preparation of
     1,4-Benzodiazepine-2,5-dione Libraries via Armstrong's Convertible
     Isonitrile and the Ugi Reaction
ΑU
     Hulme, Christopher; Peng, John; Tang, Sheng-Yuh; Burns, Christopher J.;
     Morize, Isabelle; Labaudiniere, Richard
CS
     Lead Discovery Department, Rhone-Poulenc Rorer Central Research,
     Collegeville, PA, 19426-0995, USA
     Journal of Organic Chemistry (1998), 63(22), 8021-8023
SO
     CODEN: JOCEAH; ISSN: 0022-3263
     American Chemical Society
PB
     Journal
DT
     English
LА
GI
```

```
AB
     Title compds. I (R1 = (CH3)2CH, CH3(CH2)5, C6H5CH2CH2, CH3CH2, etc.; R2 =
     C6H5CH2, C6H5CH2CH2, C6H5(CH2)3, 4-MeOC6H4CH2, CH3(CH2)5, etc.; R3 = H, CH3)
     were prepared from R1CHO, R2NH2, 1-cyclohexenylisonitrile, and II by 4-
     component condensation in methanol at room temperature
IT
     214854-07-6P 215650-70-7P 215650-73-0P
     215650-74-1P 215650-75-2P 215650-86-5P
     215650-89-8P 215650-90-1P 215650-91-2P
     215651-02-8P 215651-05-1P 215651-06-2P
     215651-07-3P 215651-17-5P 215651-20-0P
     215651-21-1P 215651-22-2P 215651-65-3P
     215651-66-4P 215651-77-7P 215651-80-2P
     215651-81-3P 215651-82-4P 215651-93-7P
     215651-96-0P 215651-97-1P 215651-98-2P
     215652-07-6P 215652-09-8P 215652-10-1P
     215652-21-4P 215652-24-7P 215652-25-8P
     215652-26-9P 215652-37-2P 215652-40-7P
     215652-41-8P 215652-42-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (procedure for solution phase preparation of benzodiazepinedione libraries
via
       Armstrong's convertible isonitrile and Ugi reaction)
RN
     214854-07-6 CAPLUS
CN
     1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-4-[3-(1H-imidazol-1-
     yl)propyl]-1-methyl-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)
```

RN 215650-70-7 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-1-methyl-3-(2-phenylethyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 215650-73-0 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[2-(1,3-benzodioxol-5-yl)-1-methylethyl]-3,4-dihydro-1-methyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 215650-74-1 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[(3,3-dimethylcyclohexyl)methyl]-3,4-dihydro-1-methyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 215650-75-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[2,3,4,5-tetrahydro-1-methyl-2,5-dioxo-4-(phenylmethyl)-1H-1,4-benzodiazepin-3-yl}-, ethyl ester (9CI) (CA INDEX

NAME)

RN 215650-86-5 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-1-methyl-3,4-bis(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 215650-89-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[2-(1,3-benzodioxol-5-yl)-1-methylethyl]-3,4-dihydro-1-methyl-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 215650-90-1 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[(3,3-dimethylcyclohexyl)methyl]-3,4-dihydro-1-methyl-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 215650-91-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[2,3,4,5-tetrahydro-1-methyl-2,5-dioxo-4-(2-phenylethyl)-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 215651-02-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-1-methyl-3-(2-phenylethyl)-4-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 215651-05-1 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[2-(1,3-benzodioxol-5-yl)-1-methylethyl]-3,4-dihydro-1-methyl-4-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 215651-06-2 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[(3,3-dimethylcyclohexyl)methyl]-3,4-dihydro-1-methyl-4-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 215651-07-3 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[2,3,4,5-tetrahydro-1-methyl-2,5-dioxo-4-(3-phenylpropyl)-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 215651-17-5 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-4-[(4-methoxyphenyl)methyl]-1-methyl-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 215651-20-0 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[2-(1,3-benzodioxol-5-yl)-1-methylethyl]-3,4-dihydro-4-[(4-methoxyphenyl)methyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 215651-21-1 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[(3,3-dimethylcyclohexyl)methyl]-3,4-dihydro-4-[(4-methoxyphenyl)methyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 215651-22-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[2,3,4,5-tetrahydro-4-[(4-methoxyphenyl)methyl]-1-methyl-2,5-dioxo-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 215651-65-3 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[(3,3-dimethylcyclohexyl)methyl]-3,4-dihydro-4-[3-(1H-imidazol-1-yl)propyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 215651-66-4 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[2,3,4,5-tetrahydro-4-[3-(1H-imidazol-1-yl)propyl]-1-methyl-2,5-dioxo-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 215651-77-7 CAPLUS

CN Benzenesulfonamide, 4-[2-[1,2,3,5-tetrahydro-1-methyl-2,5-dioxo-3-(2-phenylethyl)-4H-1,4-benzodiazepin-4-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 215651-80-2 CAPLUS

CN Benzenesulfonamide, 4-[2-[3-[2-(1,3-benzodioxol-5-yl)-1-methylethyl]-1,2,3,5-tetrahydro-1-methyl-2,5-dioxo-4H-1,4-benzodiazepin-4-yl]ethyl]-(9CI) (CA INDEX NAME)

215651-81-3 CAPLUS

RN

CN Benzenesulfonamide, 4-[2-[3-[(3,3-dimethylcyclohexyl)methyl]-1,2,3,5-tetrahydro-1-methyl-2,5-dioxo-4H-1,4-benzodiazepin-4-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 215651-82-4 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[2-[4-(aminosulfonyl)phenyl]ethyl]-2,3,4,5-tetrahydro-1-methyl-2,5-dioxo-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 215651-93-7 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-[[4-(1,1-dimethylethyl)phenyl]methyl]-3,4-dihydro-1-methyl-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 215651-96-0 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[2-(1,3-benzodioxol-5-yl)-1-methylethyl]-4-[[4-(1,1-dimethylethyl)phenyl]methyl]-3,4-dihydro-1-methyl-(9CI) (CA INDEX NAME)

RN 215651-97-1 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[(3,3-dimethylcyclohexyl)methyl]-4-[[4-(1,1-dimethylethyl)phenyl]methyl]-3,4-dihydro-1-methyl- (9CI) (CA INDEX NAME)

RN · 215651-98-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[4-(1,1-dimethylethyl)phenyl]methyl]-2,3,4,5-tetrahydro-1-methyl-2,5-dioxo-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 215652-07-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-1-methyl-3-(2-phenylethyl)-4-(5-quinolinylmethyl)- (9CI) (CA INDEX NAME)

RN 215652-09-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[(3,3-dimethylcyclohexyl)methyl]-3,4-dihydro-1-methyl-4-(5-quinolinylmethyl)- (9CI) (CA INDEX NAME)

RN 215652-10-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[2,3,4,5-tetrahydro-1-methyl-2,5-dioxo-4-(5-quinolinylmethyl)-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 215652-21-4 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 4-(2,2-diphenylethyl)-3,4-dihydro-1-

RN 215652-24-7 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[2-(1,3-benzodioxol-5-yl)-1-methylethyl]-4-(2,2-diphenylethyl)-3,4-dihydro-1-methyl- (9CI) (CA INDEX NAME)

RN 215652-25-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[(3,3-dimethylcyclohexyl)methyl]-4-(2,2-diphenylethyl)-3,4-dihydro-1-methyl- (9CI) (CA INDEX NAME)

RN 215652-26-9 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-(2,2-diphenylethyl)-2,3,4,5-tetrahydro-1-methyl-2,5-dioxo-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 215652-37-2 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-1-methyl-4-[3-(2-oxo-1-pyrrolidinyl)propyl]-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 215652-40-7 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[2-(1,3-benzodioxol-5-yl)-1-methylethyl]-3,4-dihydro-1-methyl-4-[3-(2-oxo-1-pyrrolidinyl)propyl]-(9CI) (CA INDEX NAME)

RN 215652-41-8 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[(3,3-dimethylcyclohexyl)methyl]-3,4-dihydro-1-methyl-4-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 215652-42-9 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[2,3,4,5-tetrahydro-1-methyl-2,5-dioxo-4-[3-(2-oxo-1-pyrrolidinyl)propyl]-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

IT 215651-64-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(solution phase preparation of benzodiazepinediones via Armstrong's convertible

isonitrile and Ugi reaction)

RN 215651-64-2 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3-[1-(1,3-benzodioxol-5-yl)ethyl]-3,4-dihydro-4-[3-(1H-imidazol-1-yl)propyl]-1-methyl- (9CI) (CA INDEX NAME)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:624893 CAPLUS Full-text

DN 129:316200

ΤI Novel safety-catch linker and its application with a Ugi/De-BOC/cyclization (UDC) strategy to access carboxylic acids, 1,4-benzodiazepines, diketopiperazines, ketopiperazines and dihydroquinoxalinones

AU Hulme, Christopher; Peng, John; Morton, George; Salvino, Joseph M.; Herpin, Tim; Labaudiniere, Richard

CS Rhone-Poulenc Rorer Cent. Res., Collegeville, PA, 19426, USA

Tetrahedron Letters (1998), 39(40), 7227-7230 SO CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DTJournal

English LΑ

GI

This communication reveals the synthesis and application of a novel resin AB bound isonitrile (I; A1 = isocyano; P = Wang resin) which can be used for automated parallel synthesis of diverse arrays of compds. in combinatorial chemical The resin is an example of a novel safety-catch linker which upon BOC-activation can be resin cleaved with a variety of nucleophiles. Use of this polymer supported isonitrile in the Ugi multi-component reaction (MCR) with aldehydes R1CHO (R1 = unspecified aldehyde residue), amines R2NH2 (R2 = unspecified amine residue), and carboxylic acids R3CO2H (R3 = unspecified carboxylic acid residue) to form resin-bound Ugi products I (A1 = Q, R = H) followed by Boc-activation to I (A1 = Q, R = Boc) (i.e. safety catch) and resin clipping and cyclization. allows access to diverse arrays of 1,4benzodiazepine-2,5-diones (II; R4 = unspecified substituent), diketopiperazines (III), ketopiperazines (IV), and dihydroquinoxalines (V), resp., as well as carboxylic acids (amino acids) (HO-Q) or their esters. The methoxide safety-catch clipping strategy and subsequent solution phase cyclization. offers similar advantages to a traceless linker.

IT 214854-07-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (safety-catch linker resin and its application with Ugi/De-BOC/cyclization strategy to access carboxylic acids, benzodiazepines, diketopiperazines, ketopiperazines and

dihydroquinoxalinones)

RN 214854-07-6 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-4-[3-(1H-imidazol-1-yl)propyl]-1-methyl-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:705648 CAPLUS Full-text

DN 126:31333

TI A Remarkable Two-Step Synthesis of Diverse 1,4-Benzodiazepine-2,5-diones Using the Ugi Four-Component Condensation

AU Keating, Thomas A.; Armstrong, Robert W.

CS Department of Chemistry and Biochemistry, University of California, Los Angeles, CA, 90095, USA

SO Journal of Organic Chemistry (1996), 61(25), 8935-8939 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

GI

AΒ A two-step, general synthesis of 1,4-benzodiazepine-2,5-diones was presented. This synthesis employed an Uqi four-component condensation using a convertible isocyanide (1-isocyanocyclohexene), followed by an acid-activated cyclization reaction. The condensation of 2-amino-5-iodobenzoic acid with 1-butanamine, benzaldehyde and 1-isocyanocyclohexene gave 4-butyl-3,4-dihydro-7-iodo-3phenyl-1H-1,4- benzodiazepine-2,5-dione (I). This synthesis represented a dramatically improved route to 1,4-benzodiazepine-2,5-diones over those currently in the literature. In addition, since amino acids are not used as inputs, the potential for mol. diversity is much greater than that with existing syntheses. It was also found that 1,4-benzodiazepine-2,5-diones substituted with methylenes at the C-3 and N-4 positions display conformational isomerism in the NMR spectra at room temperature Variabletemperature NMR expts. support this observation and offer the interesting conclusion that the 1,4-benzodiazepine-2,5-dione core structure, in certain examples, might not be as rigid as previously supposed.

IT .184287-14-7P 184287-20-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of benzodiazepinediones by Ugi four-component condensation)

RN 184287-14-7 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-1-methyl-3-(4-methylphenyl)-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 184287-20-5 CAPLUS

CN 1H-1,4-Benzodiazepine-2,5-dione, 3,4-dihydro-3-(4-methylphenyl)-1-phenyl-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)

=> d 12; d his; log y L2 HAS NO ANSWERS L1 STR

G1 Cb, Hy, Ak

Structure attributes must be viewed using STN Express query preparation. L2 QUE ABB=ON PLU=ON L1

(FILE 'HOME' ENTERED AT 12:20:46 ON 30 NOV 2005)

FILE 'REGISTRY' ENTERED AT 12:20:52 ON 30 NOV 2005

L1 STRUCTURE UPLOADED

L2 QUE L1

L3 7 S L2

L4 174 S L2 FUL

FILE 'CAPLUS' ENTERED AT 12:21:18 ON 30 NOV 2005

.L5 13 S L4.

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	65.12	226.66
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-9.49	-9.49

STN INTERNATIONAL LOGOFF AT 12:22:27 ON 30 NOV 2005